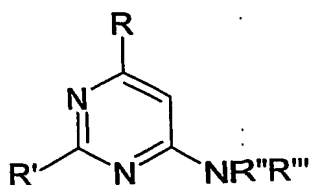


Claims

1. A compound having the structure of general formula (I):

5



10 or a salt thereof,

wherein

R represents hydrogen (except when R'=H), (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl;

15 R' represents hydrogen (except when R=H), (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl;

R'' represents hydrogen, acyl, thio-acyl, seleno-acyl, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl;

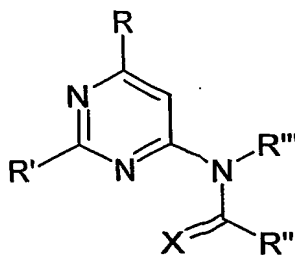
R''' represents hydrogen, acyl, thio-acyl, seleno-acyl, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl;

20 R'' and R''' can also together form a substituted or unsubstituted heterocyclic ring or heterocyclic rings;

and n is a number in the range of from 0 to 10.

2. A compound according to claim 1, having the structure:

25



or a salt thereof,

wherein

R represents hydrogen (except when R'=H), (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl;

5 R' represents hydrogen (except when R=H), (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl;

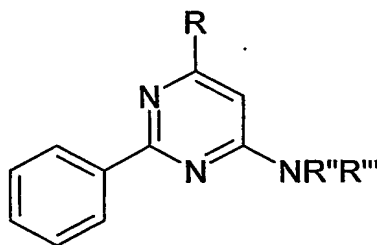
R'' represents hydrogen, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl;

10 R''' represents hydrogen, acyl, thio-acyl, seleno-acyl, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl;

X represents oxygen, sulfur or selenium;

and n is a number in the range of from 0 to 10.

3. A compound according to claim 1, having the structure:



15

or a salt thereof,

wherein

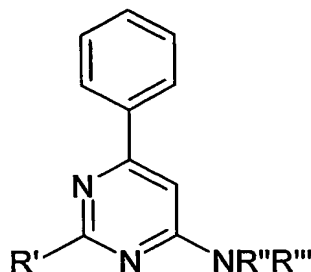
20 R represents hydrogen, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl;

R'' represents hydrogen, acyl, thio-acyl, seleno-acyl, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl;

R''' represents hydrogen, acyl, thio-acyl, seleno-acyl, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl; and

25 n is a number in the range of from 0 to 10.

4. A compound according to claim 1, having the structure:



- 5 or a salt thereof,

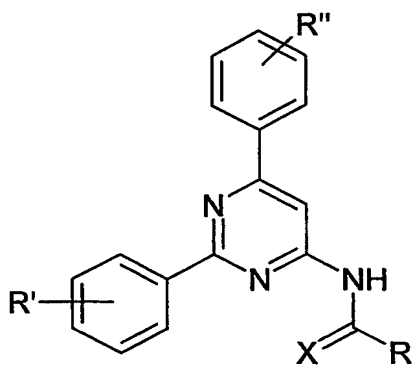
wherein

R' represents hydrogen, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl;

- R'' represents hydrogen, acyl, thio-acyl, seleno-acyl, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl;

R''' represents hydrogen, acyl, thio-acyl, seleno-acyl, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl; and n is a number in the range of from 0 to 10.

- 15 5. The compound according to any one of claims 1, 2 or 4, having the structure:



or a salt thereof,

wherein

R represents hydrogen, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted) (CH<sub>2</sub>)<sub>n</sub>-aryl;

R' represents hydrogen, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, (substituted) (CH<sub>2</sub>)<sub>n</sub>-aryl, alkoxy, thioalkyl, halo, NR<sub>1</sub>R<sub>2</sub>, NR<sub>3</sub>COR<sub>4</sub>, or  
5 NR<sub>5</sub>CONR<sub>6</sub>R<sub>7</sub>;

R'' represents hydrogen, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, (substituted) (CH<sub>2</sub>)<sub>n</sub>-aryl, alkoxy, thioalkyl, halo, NR<sub>1</sub>R<sub>2</sub>, NR<sub>3</sub>COR<sub>4</sub>, or NR<sub>5</sub>CONR<sub>6</sub>R<sub>7</sub>; wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> are

independently selected from hydrogen, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted) (CH<sub>2</sub>)<sub>n</sub>-aryl; and whereby when  
10 R<sub>1</sub> and R<sub>2</sub> are in a NR<sub>1</sub>R<sub>2</sub> or when R<sub>6</sub> and R<sub>7</sub> are in a NR<sub>6</sub>R<sub>7</sub> R<sub>1</sub> and R<sub>2</sub> may be linked to form a heterocyclic group, and R<sub>6</sub> and R<sub>7</sub> may be linked to form a heterocyclic group; X represents oxygen, sulfur or selenium; and n is a number in the range of from 0 to 10.

15

6. A compound according to any one of claims 1-5, which compound is selected from the group consisting of N-(2,6-diphenyl-pyrimidin-4-yl)-benzamide, N-(2,6-diphenyl-pyrimidin-4-yl)-4-methoxy-benzamide), N-(2,6-diphenyl-pyrimidin-4-yl)-formamide, N-(2,6-diphenyl-pyrimidin-4-yl)-  
20 acetamide, N-(2,6-diphenyl-pyrimidin-4-yl)-propionamide, N-(2,6-diphenyl-pyrimidin-4-yl)-butyramide, N-(2,6-diphenyl-pyrimidin-4-yl)-isobutyramide, N-(2,6-diphenyl-pyrimidin-4-yl)-3-methyl-butyramide,

N-(2,6-diphenyl-pyrimidin-4-yl)-2-ethyl-butyramide, N-(2,6-diphenyl-pyrimidin-4-yl)-2-methyl-butyramide, N-(2,6-diphenyl-pyrimidin-4-yl)-2,2-  
25 dimethyl-propionamide, N-(2,6-diphenyl-pyrimidin-4-yl)-3,3-dimethyl-butyramide, cyclopropanecarboxylic acid (2,6-diphenyl-pyrimidin-4-yl)-amide, cyclobutanecarboxylic acid (2,6-diphenyl-pyrimidin-4-yl)-amide, cyclopentanecarboxylic acid (2,6-diphenyl-pyrimidin-4-yl)-amide, cyclohexanecarboxylic acid (2,6-diphenyl-pyrimidin-4-yl)-amide or a salt

30 thereof.

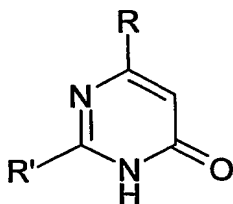
7. A compound according to claim 6, wherein the compound is selected from the group consisting of N-(2,6-diphenyl-pyrimidin-4-yl)-propionamide, N-(2,6-diphenyl-pyrimidin-4-yl)-butyramide, N-(2,6-diphenyl-pyrimidin-4-yl)-isobutyramide, N-(2,6-diphenyl-pyrimidin-4-yl)-3-methyl-butyramide,  
5 N-(2,6-diphenyl-pyrimidin-4-yl)-2-ethyl-butyramide, N-(2,6-diphenyl-pyrimidin-4-yl)-2-methyl-butyramide, N-(2,6-diphenyl-pyrimidin-4-yl)-2,2-dimethyl-propionamide, N-(2,6-diphenyl-pyrimidin-4-yl)-3,3-dimethyl-butyramide, cyclopentanecarboxylic acid (2,6-diphenyl-pyrimidin-4-yl)-amide, cyclohexanecarboxylic acid (2,6-diphenyl-pyrimidin-4-yl)-amide or a salt  
10 thereof.

8. A compound according to claim 6, which compound comprises N-(2,6-diphenyl-pyrimidin-4-yl)-2-methyl-butyramide, N-(2,6-diphenyl-pyrimidin-4-yl)-2,2-dimethyl-propionamide, or cyclopentanecarboxylic acid (2,6-diphenyl-pyrimidin-4-yl)-amide.  
15

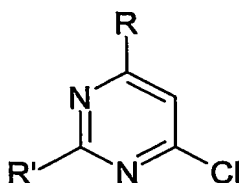
9. A process for preparing a compound according to any one of claims 1-8, which process comprises the steps of:

(a) reacting a compound having the structure of  $\text{RCOCH}_2\text{COOA}$ , wherein A represents (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl or (substituted)  $-(\text{CH}_2)_n\text{-aryl}$ , wherein n is a number in the range of from 0 to 10, with a compound consisting of structure  $\text{R}'\text{C}(\text{NH})\text{NH}_2$ , or a salt thereof, to form a product having the structure

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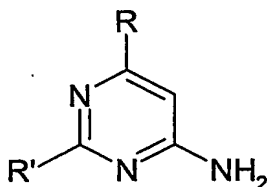


- or its tautomer, wherein R represents hydrogen (except when R' = H), (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl or (substituted)  $-(CH_2)_n$ -aryl; R' represents hydrogen (except when R = H), (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl or (substituted)  $-(CH_2)_n$ -aryl; and
- 5 wherein n has the meaning as defined hereinbefore;
- (b) subjecting the product formed in step (a) to a treatment wherein the oxygen atom is replaced by a chlorine atom to form a product having the

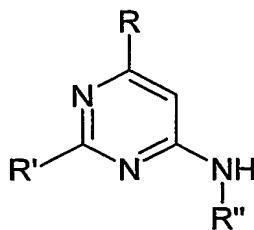


structure

- 10 (c) reacting the product formed in step (b) with ammonia to form a product having structure

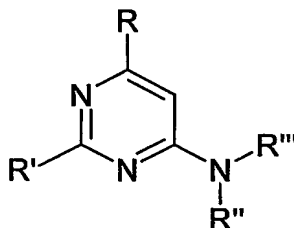


- (d) reacting the product formed in step (c) with a compound having the structure of R''aldehyde, R''halide, or R''carboxylic acid or a derivative thereof,
- 15 to form a product having the structure



wherein R' represents, acyl, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl, wherein n has the meaning as defined herein before; and

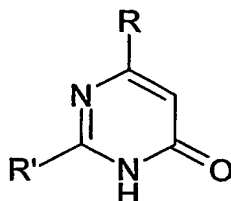
- (e) reacting the product formed in step (c) with a compound having the structure of R' aldehyde, R' halide or R' carboxylic acid or a derivative thereof, to form a product having the structure



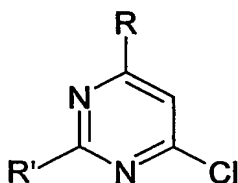
- wherein R''' represents, acyl, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, or (substituted)  $-(CH_2)_n$ -aryl, and wherein n has the meaning as defined hereinbefore.

10. A process for preparing a compound according to any one of claims 1-8, which process comprises the steps of:

- (a) reacting a compound having the structure of  $RCOCH_2COOA$ , wherein A represents (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl or (substituted)  $-(CH_2)_n$ -aryl, wherein n is a number in the range of from 0 to 10, with a compound consisting of structure  $R'C(NH)NH_2$ , or a salt thereof, to form a product having the structure

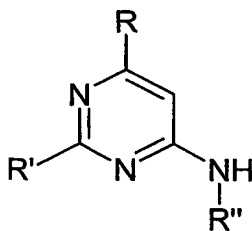


- or its tautomer, wherein R represents hydrogen (except when  $R' = H$ ), (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl or (substituted)  $-(CH_2)_n$ -aryl;  $R'$  represents hydrogen (except when  $R = H$ ), (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl or (substituted)  $-(CH_2)_n$ -aryl; and
- 5 wherein n has the meaning as defined hereinbefore;
- (b) subjecting the product formed in step (a) to a treatment wherein the oxygen atom is replaced by a chlorine atom to form a product having the



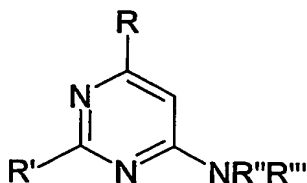
structure

- 10 (c) reacting the product formed in step (b) with a compound having the structure  $R''NH_2$  to form a product having structure



- wherein  $R''$  represents (substituted) alkyl, (substituted) alkenyl, substituted alkynyl or (substituted)  $-(CH_2)_n$ -aryl, wherein n has the meaning as defined
- 15 hereinbefore; and

- (d) reacting the product formed in step (c) with a compound having the structure of  $R''$ aldehyde,  $R''$ halide, or  $R''$ carboxylic acid or derivative thereof, to form a product having the structure



20



wherein R''' represents acyl, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl or (substituted)  $-(CH_2)_n$ -aryl, and wherein n has the meaning as defined hereinbefore.

5 11. A pharmaceutical composition comprising as active ingredient one or more compounds according to any one of claims 1-8.

12. The use of a compound according to any one of claims 1-8 for treating and/or preventing a disorder in which the adenosine receptors are involved.

10

13. The use of a compound according to any one of claims 1-8 for treating and/or preventing a disorder in which the adenosine receptors are blocked.

14. The use of a compound according to any one of claims 1-8 for the  
15 manufacture of a medicament for the treatment and/or prevention of a disorder in which the adenosine receptors are involved.

15. The use of a compound according to any one of claims 1-8 for the  
20 manufacture of a medicament for the treatment and/or prevention of a disorder in which the adenosine receptors are blocked.

16. The use according to claims 12 - 15, wherein the disorder is chosen from the group of diseases consisting of amongst others cardiovascular, neurological, immunological disorders, cancers and infection conditions.

25

17. The use according to claims 12 - 16, wherein the disorder is chosen from the group of diseases consisting of kidney, heart and central nervous system (CNS) afflictions.

30

18. A method for treating and/or preventing a disorder in which the interaction with the adenosine receptors is beneficial which method comprises administering to a subject in need of such treatment an effective dose of a pharmaceutical composition according to claim 11.

5

19. The method according to claim 18, wherein the disorder is chosen from the group of diseases consisting of amongst others cardiovascular, neurological, immunological disorders, cancers and infection conditions.

10 20. The method according to claim 19, wherein the disorder is chosen from the group of diseases consisting of kidney, heart and central nervous system (CNS) afflictions.

15